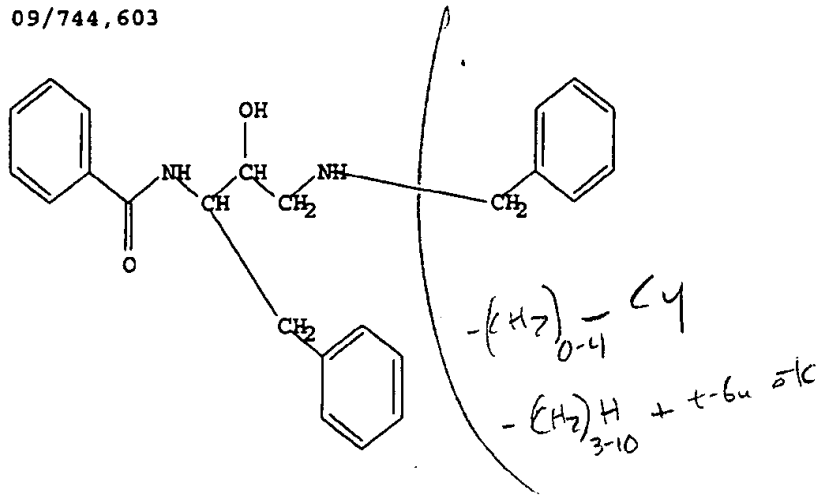
09/744,603



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    170 TO ITERATE

100.0% PROCESSED     170 ITERATIONS                          21 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2618 TO     4182
PROJECTED ANSWERS:              146 TO      694

L2            21 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 18:03:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3305 TO ITERATE

100.0% PROCESSED    3305 ITERATIONS                         449 ANSWERS
SEARCH TIME: 00.00.01

L3           449 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              140.28     140.49

FILE 'CAPLUS' ENTERED AT 18:03:21 ON 18 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 18 Dec 2002 VOL 137 ISS 25
FILE LAST UPDATED: 17 Dec 2002 (20021217/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 3 L3

=> d l4 1-3 ibib abs hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:832774 CAPLUS
DOCUMENT NUMBER: 137:325641
TITLE: Processes for the synthesis of amino acid-related benzyl epoxides used in the production of pharmaceutical agents
INVENTOR(S): Reeder, Michael R.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085877	A2	20021031	WO 2002-US12591	20020423

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-285772P P 20010423
OTHER SOURCE(S): CASREACT 137:325641; MARPAT 137:325641
GI

10/128,122

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides amino acids R30NHCH(CH2R)CO2R1 [R = (un)substituted phenyl; R1 = allyl or (un)substituted alkyl, Ph, or benzyl; R30 = H or a protecting group], amino alcs. H2NCH(CH2R)CH(OH)CH2R2 [R2 = Cl, Br, trialkylsilyl, or tri-substituted aminosilyl], corresponding epoxides, and other intermediates used in the prodn. of pharmaceutical agents. Thus, Boc-protected 3,5-difluoro-L-phenylalanine underwent sequential Me

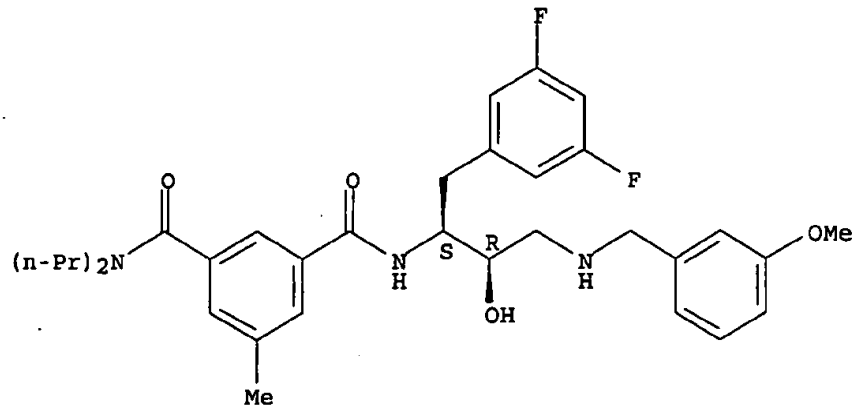
esterification, reaction with ClCH2I, borohydride redn., and conversion to epoxide I (KOH/EtOH). Ring opening of I with 3-methoxybenzylamine, deprotection, and acylation with 5-methyl-N,N-dipropylisophthalamic acid afforded amino alc. deriv. II.

IT **388062-16-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of amino acid-related benzyl epoxides for prodn. of pharmaceuticals)

RN 388062-16-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:31402 CAPLUS

DOCUMENT NUMBER: 136:102190

TITLE: Preparation of substituted amines to treat Alzheimer's disease

INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 651 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

present case

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002128255 A1 20020912 US 2001-896139 20010629
PRIORITY APPLN. INFO.: US 2000-215323P P 20000630
US 2000-252736P P 20001122
US 2000-255956P P 20001215
US 2001-268497P P 20010213
US 2001-279779P P 20010329
US 2001-295589P P 20010604
OTHER SOURCE(S): MARPAT 136:102190

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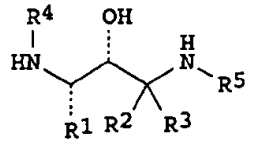

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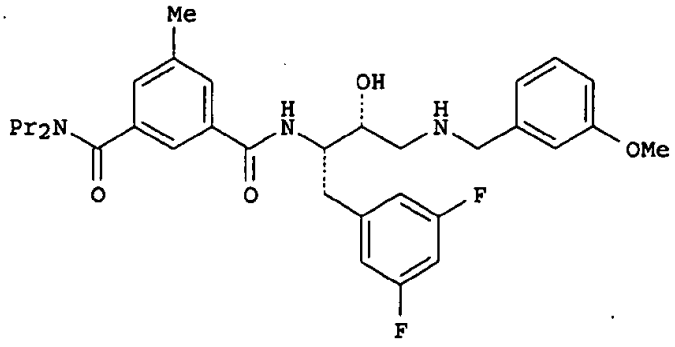


II

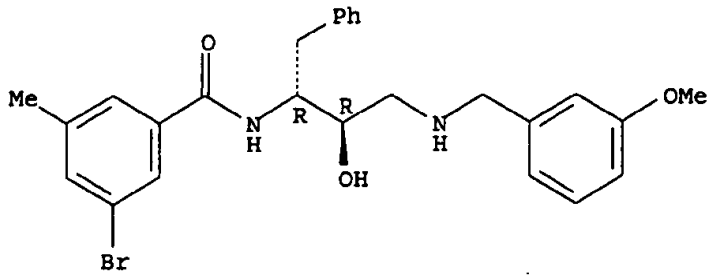
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepd. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 .mu.M against beta-secretase.

IT **388066-36-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted amines for treating Alzheimer's disease)

RN 388066-36-2 CAPLUS

CN Benzamide, 3-bromo-N-[(1R,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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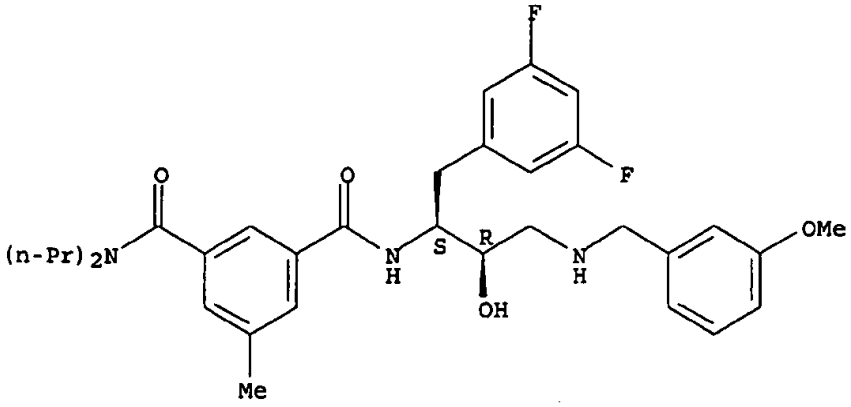
388067-12-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amines for treating Alzheimer's disease)

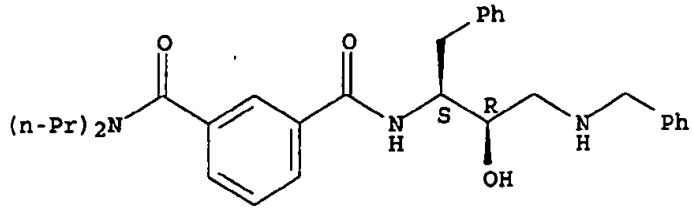
RN 388062-16-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



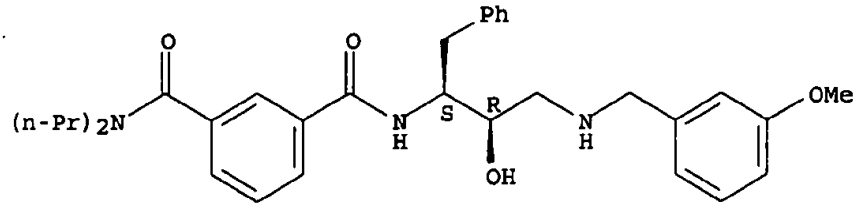
RN 388062-19-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



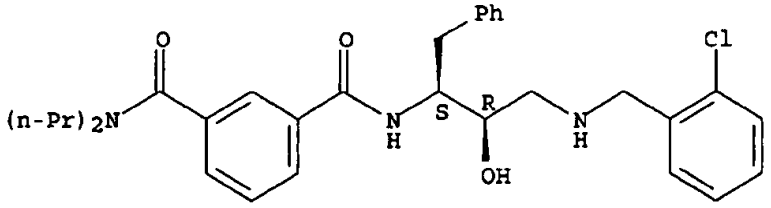
RN 388062-23-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



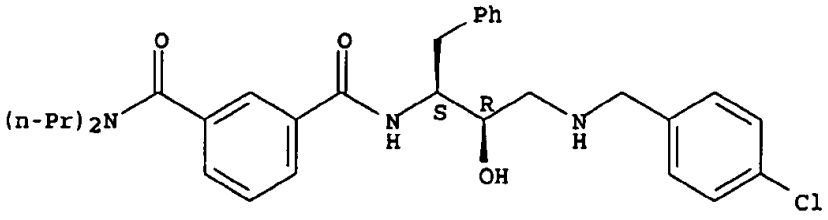
RN 388062-26-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(2-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388062-27-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(4-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



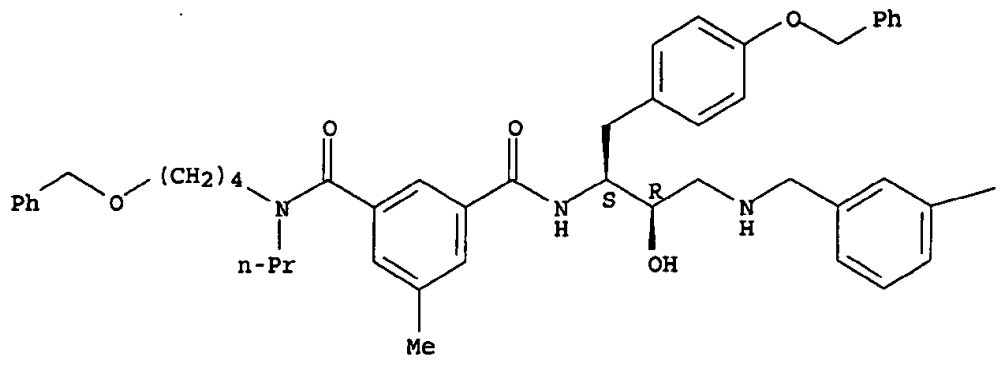
RN 388062-36-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(2-aminophenyl)methyl]amino]-2-

09/744,603

(prepn. of substituted amines for treating Alzheimer's disease)
RN 388071-98-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-[[4-(phenylmethoxy)phenyl]methyl]propyl]-5-methyl-N-[4-(phenylmethoxy)butyl]-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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—OMe

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:31396 CAPLUS
DOCUMENT NUMBER: 136:102189
TITLE: Preparation of substituted amines for treating Alzheimer's disease
INVENTOR(S): Fang, Lawrence Y.; Hom, Roy; John, Varghese; Maillaird, Michel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002505	A2	20020110	WO 2001-US20852	20010629
WO 2002002505	A3	20020801		

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09/896,874

MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002016320 A1 20020207 US 2001-896874 20010629
PRIORITY APPLN. INFO.: US 2000-215323P P 20000630
OTHER SOURCE(S): MARPAT 136:102189
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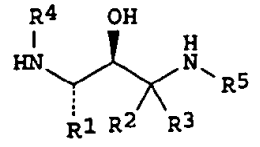
09/896,874 Kumar OK



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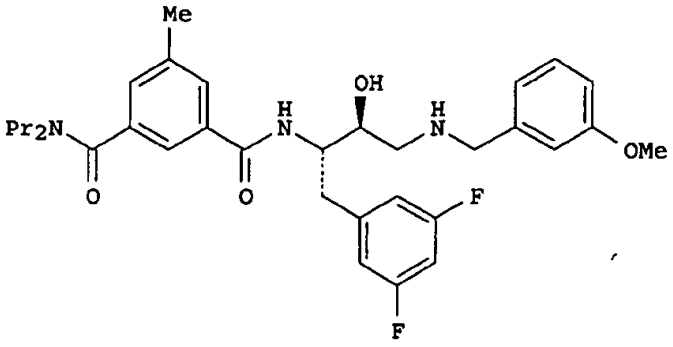


II

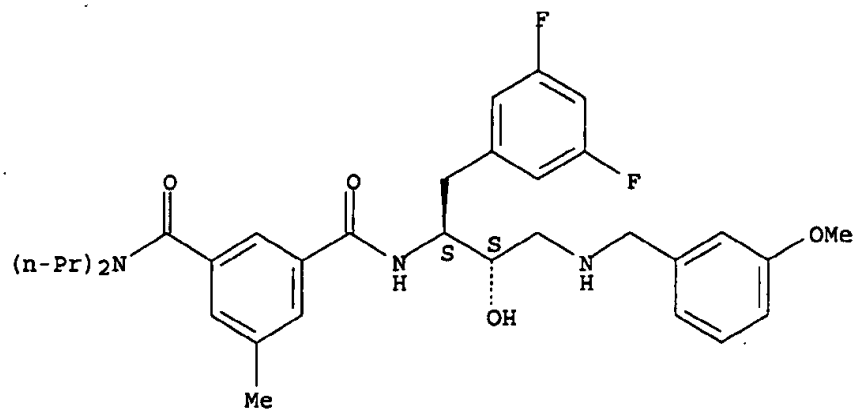
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl; or R2 and R3 are taken together with the carbon to which they are attached to form (un)substituted 3-7 membered carbo(or hetero)cycle; R4 = RX; X = CO, SO2; R = Ph, naphthyl, indanyl, etc.; R5 = alkyl, (CH2)0-3cycloalkyl, etc.], useful as .beta.-secretase inhibitors, were prepd. Thus, reacting (2S,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with N,N,-dipropylamidoisophthalic acid in the presence of Et3N, HOBt and EDC in CH2Cl2 afforded (1S,2S)-II.

IT **388077-90-5P 388077-92-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amines for treating Alzheimer's disease)

RN 388077-90-5 CAPLUS

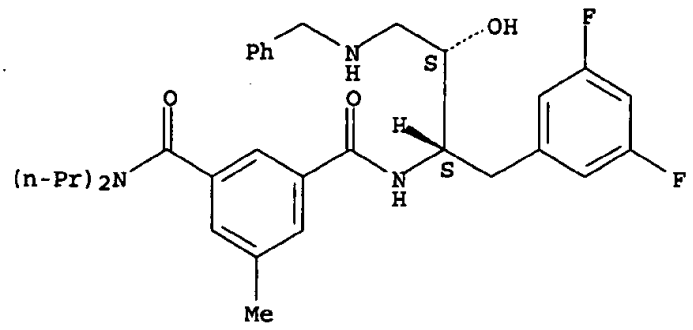
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



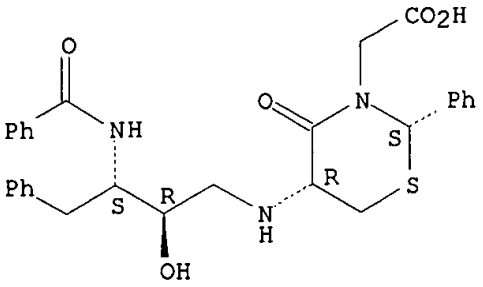
RN 388077-92-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(phenylmethyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



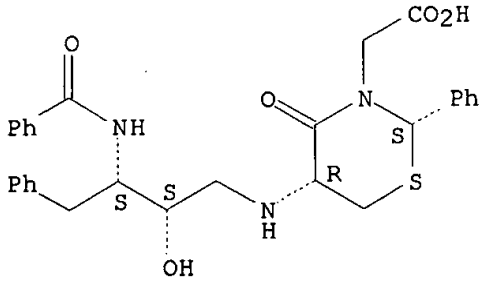
phenylbutyl]amino]dihydro-4-oxo-2-phenyl-, [2S-[2α,5α(2S*,3R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 97549-62-7 CAPLUS
CN 2H-1,3-Thiazine-3(4H)-acetic acid, 5-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]dihydro-4-oxo-2-phenyl-, [2S-[2α,5α(2R*,3R*)]]- (9CI) (CA INDEX NAME)

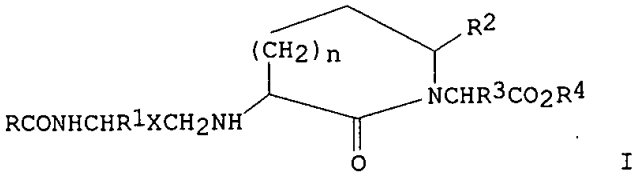
Absolute stereochemistry.



L6 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:78746 CAPLUS
DOCUMENT NUMBER: 102:78746
TITLE: Lactam-containing compounds, their pharmaceutical compositions and use
INVENTOR(S): Gordon, Eric M.; Karanewsky, Donald S.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4474778	A	19841002	US 1983-549931	19831109
AU 8435220	A1	19850516	AU 1984-35220	19841108
EP 142335	A2	19850522	EP 1984-307723	19841108
EP 142335	A3	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8408743	A	19850731	ZA 1984-8743	19841108
JP 60115565	A2	19850622	JP 1984-236582	19841109

PRIORITY APPLN. INFO.: US 1983-549931 19831109
OTHER SOURCE(S): CASREACT 102:78746
GI



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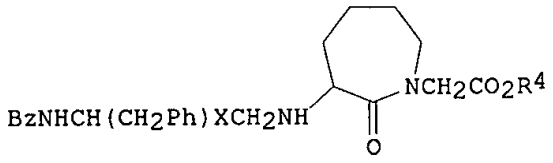


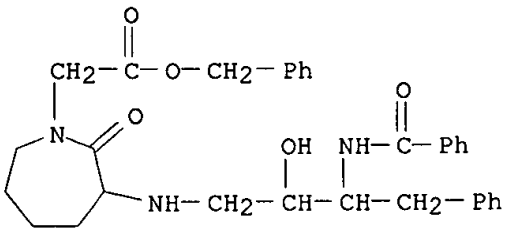
II

AB Antihypertensive (no data) lactams I [n = 1-4; X = CO, CHOH; R = R5; R1 = H, alkyl, R5, cycloalkyl, cycloalkylalkyl, 3-indolyl, 3-indolylalkyl, hydroxyalkyl, imidazolylalkyl, aminoalkyl, mercaptoalkyl, alkylthioalkyl, guanidinoalkyl, carbamnoylalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, R5, R3 = H, alkyl, aminoalkyl, hydroxyalkyl, haloalkyl; R4 = H, alkyl, CH2Ph, CHPh2, 1-acyloxyalkyl, cation; R5 = (un)substituted Ph, phenylalkyl, thienyl, thienylalkyl, furyl, furylalkyl, pyridyl, pyridylalkyl] were prepared Thus, (S)-II (R4 = H, X = CO) was prepared from Me3CO2C-Lys(CO2CH2Ph)-OH and (S)-BzNHCH(CH2Ph)COCH2Cl in 6 steps. II (R4 = CH2Ph, X = CO) was reduced with NaBH4 and hydrogenolyzed over Pd-C to give II (R4 = H, X = CHOH).

IT **93960-65-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 93960-65-7 CAPLUS

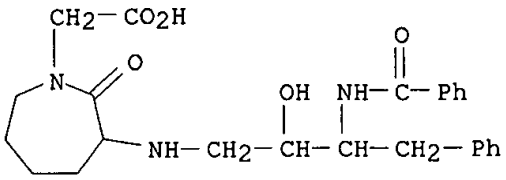
CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



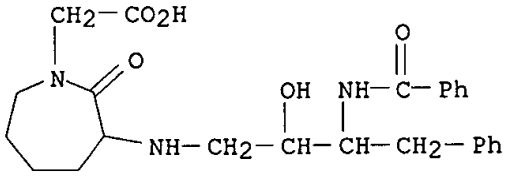
IT **93960-66-8P 93960-67-9P 93960-71-5P**
93960-72-6P 93960-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93960-66-8 CAPLUS

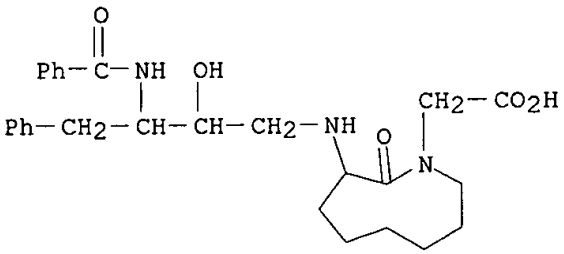
CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo- (9CI) (CA INDEX NAME)



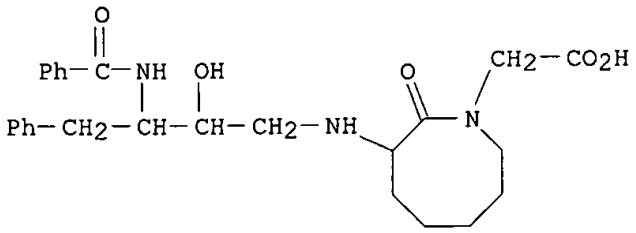
RN 93960-67-9 CAPLUS
CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo-, monosodium salt (9CI) (CA INDEX NAME)



RN 93960-71-5 CAPLUS
CN 1H-Azonine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]octahydro-2-oxo- (9CI) (CA INDEX NAME)

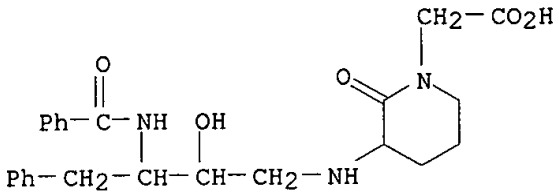


RN 93960-72-6 CAPLUS
CN 1(2H)-Azocineacetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 93960-73-7 CAPLUS
CN 1-Piperidineacetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-

phenylbutyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



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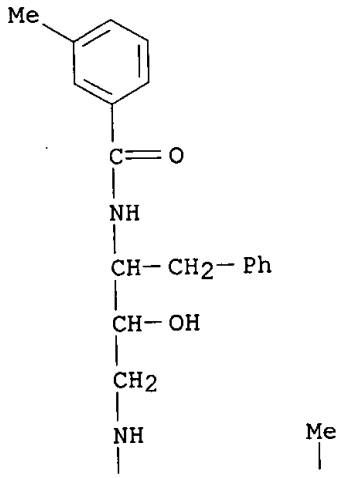
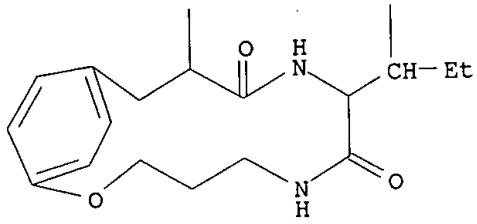


PAGE 2-A



L6 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

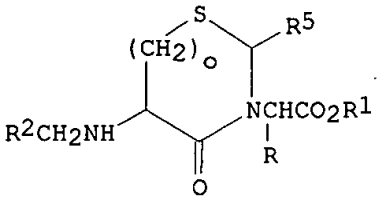
ACCESSION NUMBER: 1985:471331 CAPLUS
DOCUMENT NUMBER: 103:71331
TITLE: Acylamino oxo or hydroxy-substituted alkylamino thiazines and thiazepines
INVENTOR(S): Weller, Harold N., III; Gordon, Eric M.; Karanewsky, Donald S.; Ryono, Denis E.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 16 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4512988	A	19850423	US 1984-585058	19840301
AU 8539255	A1	19850912	AU 1985-39255	19850228

AU 577831	B2	19881006			
EP 154904	A1	19850918	EP 1985-102280	19850228	
EP 154904	B1	19871028			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
ZA 8501555	A	19851030	ZA 1985-1555	19850228	
AT 30429	E	19871115	AT 1985-102280	19850228	
CA 1242438	A1	19880927	CA 1985-475365	19850228	
JP 60202870	A2	19851014	JP 1985-41770	19850301	
JP 06088989	B4	19941109			
PRIORITY APPLN. INFO.:			US 1984-585058	19840301	
			EP 1985-102280	19850228	

OTHER SOURCE(S): CASREACT 103:71331

GI



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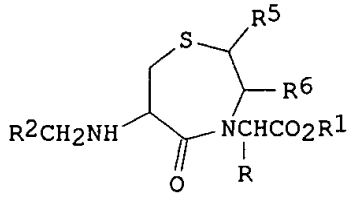
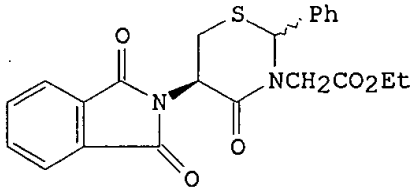
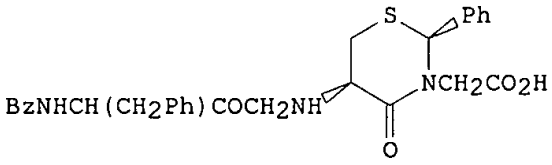


II



III



IV

AB Antihypertensive (no data) thiazines and thiazepines I and II [R = H, alkyl, aminoalkyl, hydroxyalkyl, haloalkyl; R1 = H, alkyl, PhCH2, Ph2CH, Me3SiCH2CH2, salt forming ion, CHR7O2CR8 (R7 = H, alkyl, cycloalkyl, Ph; R8 = R7, alkoxy, PhCH2, PhCH2CH2); R2 = R3(CH2)mCONHCH[(CH2)nR4]C(Z); R3 = (substituted) Ph, thienyl, furyl, pyridyl; R4 = R3, OH, NH2, SH, halo, indolyl, imidazolyl, alkylthio, guanidino, carbamoyl, cycloalkyl; m = 0-4; n = 1-4; Z = O, (H, OH); R5, R6 = H, alkyl, cycloalkylalkyl, R5R6 = benzo; o = 1, 2] were prepared via inter- and intramol. cyclocondensations of cysteine derivs. Thus, cyclocondensation of N-phthaloyl-L-cysteine with PhCH:NCH2CO2Et gave thiazineacetate III as a mixture of diastereomers, the (2S)-isomer of which was transesterified with Me3SiCH2CH2OH, deprotected, alkylated with (S)-PhCH2CH(NHBz)COCH2Cl and hydrolyzed to give [2S-[2α,5α(S)]]-thiazine IV.

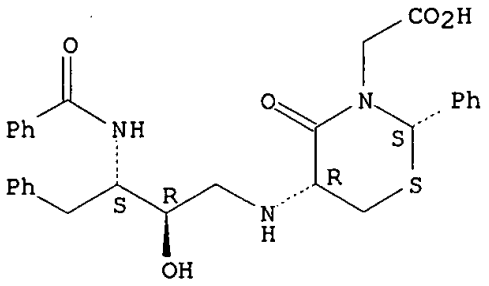
IT **97246-59-8P 97549-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 97246-59-8 CAPLUS

CN 2H-1,3-Thiazine-3(4H)-acetic acid, 5-[[3-(benzoylamino)-2-hydroxy-4-

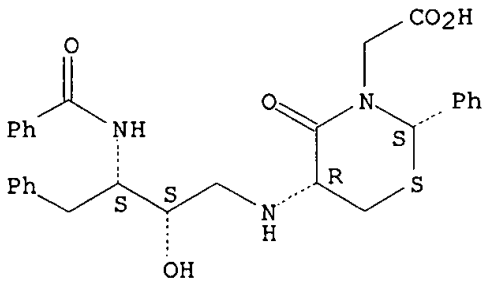
phenylbutyl]amino]dihydro-4-oxo-2-phenyl-, [2S-[2α,5α(2S*,3R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 97549-62-7 CAPLUS
CN 2H-1,3-Thiazine-3(4H)-acetic acid, 5-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]dihydro-4-oxo-2-phenyl-, [2S-[2α,5α(2R*,3R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



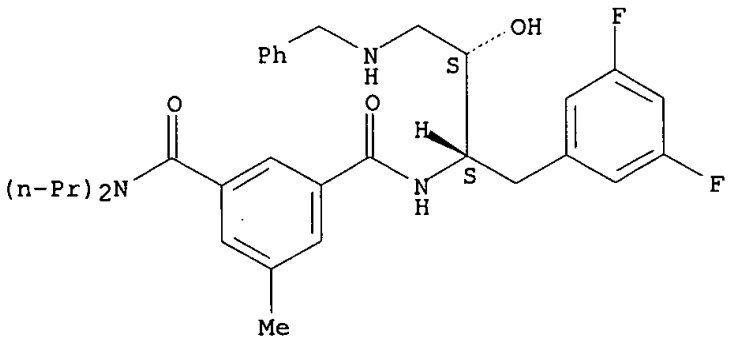
L6 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:78746 CAPLUS
DOCUMENT NUMBER: 102:78746
TITLE: Lactam-containing compounds, their pharmaceutical compositions and use
INVENTOR(S): Gordon, Eric M.; Karanewsky, Donald S.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4474778	A	19841002	US 1983-549931	19831109
AU 8435220	A1	19850516	AU 1984-35220	19841108
EP 142335	A2	19850522	EP 1984-307723	19841108
EP 142335	A3	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8408743	A	19850731	ZA 1984-8743	19841108
JP 60115565	A2	19850622	JP 1984-236582	19841109

Exh 4

RN 388077-92-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(phenylmethyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:506087 CAPLUS
DOCUMENT NUMBER: 125:168656
TITLE: HIV protease inhibitors
INVENTOR(S): Abbenante, John; Bergman, Doug; Brinkworth, Ross; Dancer, Robert; Garnham, Bronwyn; Hunt, Peter; Fairlie, David; March, Darren; Martin, Jennifer; Reid, Robert
PATENT ASSIGNEE(S): University of Queensland, Australia
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616950	A1	19960606	WO 1995-AU817	19951204
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641118	A1	19960619	AU 1996-41118	19951204
US 6043357	A	20000328	US 1997-849599	19970909
PRIORITY APPLN. INFO.:			AU 1994-9825	19941202
			WO 1995-AU817	19951204

OTHER SOURCE(S): MARPAT 125:168656
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

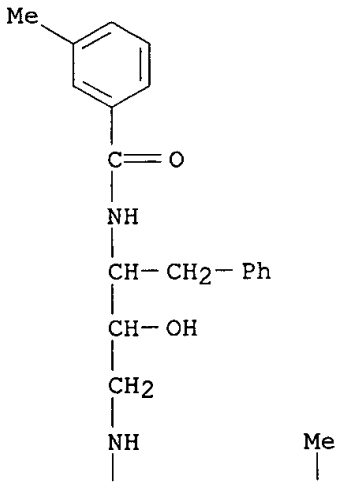
AB HIV-1 protease inhibitors which include an N-terminal ring I or a C-terminal ring II or both rings I and II [R = Asn, Ile, Val, or Glu side chain, C1-C6 alkyl, cycloalkyl; X = (CH2)n (n = 3-6), CH(OH)CH(OH)CH2, CH(CO2H)CH2CH2, CH2CONHCHR1, where R1 = D- or L-amino acid, C1-C6 alkyl] were prepared Thus, cyclic peptide III (R and S isomers) was prepared via O-alkylation of Boc-Tyr-OH, conversion to the tyrosylmethyl bromide derivative, coupling with resin-bound H-Pro-Ile-Val-NH2, etc. HIV-1 protease inhibitory data 134 are tabulated for 134 synthesized cyclic peptides.

IT **175170-13-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of cyclic peptides as HIV protease inhibitors)

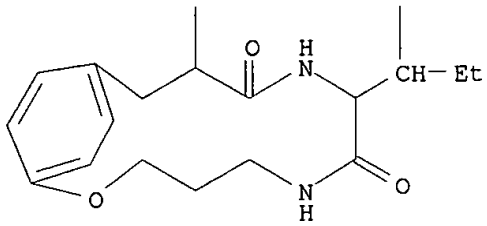
RN 175170-13-5 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[8-(1-methylpropyl)-7,10-dioxo-2-oxa-6,9-diazabicyclo[11.2.2]heptadeca-13,15,16-trien-11-yl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L6 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN